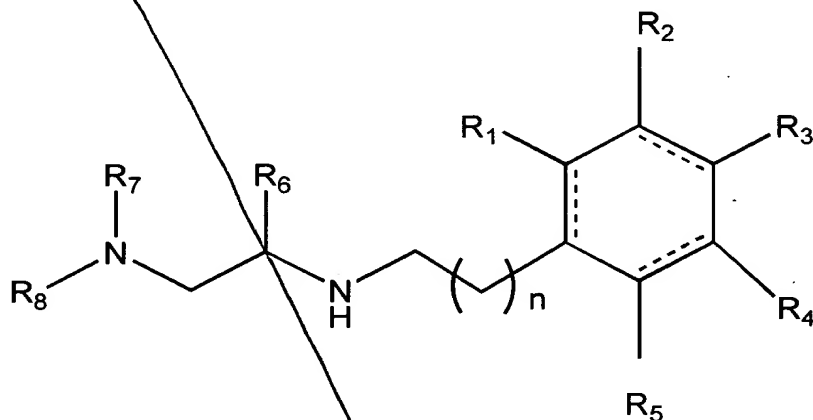


We claim:

1. A compound of the formula:



wherein:

5 the dotted lines indicate that the depicted ring is selected from the group consisting of phenyl and cyclohexyl;

n is 0, 1 or 2;

R₁ to R₅ are, independently, selected from the group
 10 consisting of a hydrogen atom, halo, hydroxy, protected hydroxy, nitro, C₁ to C₆ alkyl, C₁ to C₆ substituted alkyl, C₇ to C₁₂ phenylalkyl, C₇ to C₁₂ substituted phenylalkyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, phenyl,
 15 substituted phenyl, naphthyl, substituted naphthyl, C₁ to C₆ alkoxy, C₁ to C₆ substituted alkoxy, phenoxy, substituted phenoxy, C₁ to C₆ alkylthio, C₁ to C₆ substituted alkylthio, C₁ to C₆ alkylsulfonyl, C₁ to C₆ substituted alkylsulfonyl, phenylthio, substituted
 20 phenylthio, phenylsulfonyl, substituted phenylsulfonyl,

amino, protected amino, (monosubstituted)amino, protected
 (monosubstituted)amino and (disubstituted)amino; and when
 any one of adjacent position pairs R_1 and R_2 , R_2 and R_3 ,
 and R_3 and R_4 and R_4 and R_5 together form a moiety selected
 5 from the group consisting of phenyl, substituted phenyl,
 heterocycle and substituted heterocycle, said moiety fused
 to the phenyl ring depicted in the above formula such that
 a bicyclic ring results;

R_6 is selected from the group consisting of a hydrogen
 10 atom, C_1 to C_6 alkyl, C_1 to C_6 substituted alkyl, C_7 to C_{12}
 phenylalkyl, C_7 to C_{12} substituted phenylalkyl, C_{11} to C_{16}
 naphthylalkyl and C_{11} to C_{16} substituted naphthylalkyl;

where R_7 is absent, R_8 together with the attached nitrogen
 depicted in the above formula form a substituted
 15 heterocycle or a substituted cyclic C_3 to C_7
 heteroalkylene, wherein at least one of said substitution
 is the formula $-D-E$, wherein D may be absent or present
 and, if present, is selected from the group consisting of
 C_1 to C_6 alkylene and C_1 to C_6 substituted alkylene; and E
 20 is selected from the group consisting of amino, protected
 amino, (monosubstituted)amino, protected
 (monosubstituted)amino and (disubstituted)amino group; and

where R_7 is selected from the group consisting of a
 hydrogen atom, C_1 to C_6 alkyl and C_1 to C_6 substituted
 25 alkyl, R_8 is the formula $X-CH-Y$, wherein the attached
 nitrogen depicted in the above formula is attached to the
 carbon atom of the formula $X-CH-Y$, and wherein X is
 selected from the group consisting of a hydrogen atom, C_1
 to C_6 alkyl, C_1 to C_6 substituted alkyl, C_7 to C_{12}
 30 phenylalkyl, C_7 to C_{12} substituted phenylalkyl, phenyl,

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5 (monosubstituted) amino and (disubstituted) amino; or

2. The compound of claim 1, wherein, when the depicted ring is phenyl, R_1 to R_5 and R_7 are each hydrogen and R_8 is the formula $X-CH-Y$, X is benzyl and Y is $-CH_2$ -amino, R_6 is not benzyl.

15

5. The compound of claim 1, wherein the depicted ring is phenyl.

20

8. The compound of claim 1, wherein R₁ to R₅ are, independently, selected from the group consisting of a hydrogen atom, halo, hydroxy, protected hydroxy, nitro, C₁ to C₆ alkyl, C₁ to C₆ substituted alkyl, phenyl, substituted phenyl, C₁ to C₆ alkylthio, C₁ to C₆

substituted alkylthio, C_1 to C_6 alkylsulfonyl, C_1 to C_6 substituted alkylsulfonyl, C_1 to C_6 alkoxy, C_1 to C_6 substituted alkoxy, phenoxy, substituted phenoxy, amino, (monosubstituted)amino and (disubstituted)amino.

5 9. The compound of claim 1, wherein R_6 is selected from the group consisting of C_1 to C_6 alkyl, C_1 to C_6 substituted alkyl, C_7 to C_{12} phenylalkyl and C_7 to C_{12} substituted phenylalkyl.

10 10. The compound of claim 1, wherein R_7 is absent and R_8 together with the attached nitrogen depicted in the above formula form a substituted heterocycle or a substituted cyclic C_3 to C_7 heteroalkylene, wherein at least one of said substitution is the formula -D-E, wherein D is C_1 to C_6 alkylene and E is selected from the
15 group consisting of amino, (monosubstituted)amino and (disubstituted)amino.

20 11. The compound of claim 1, wherein R_7 is a hydrogen atom and R_8 is the formula X-CH-Y, wherein the attached nitrogen depicted in the above formula is attached to the carbon atom of the formula X-CH-Y, and wherein X is selected from the group consisting of a C_1 to C_6 alkyl, C_1 to C_6 substituted alkyl, C_7 to C_{12} phenylalkyl and C_7 to C_{12} substituted phenylalkyl and Y is the formula $-(CH_2)_m-Z$, wherein m is 1 or 2 and Z is selected from the
25 group consisting of amino, (monosubstituted)amino and (disubstituted)amino.

12. The compound of claim 1, wherein R_1 to R_5 are, independently, selected from the group consisting of a hydrogen atom, halo, hydroxy, protected hydroxy, nitro,

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C₁ to C₆ alkyl, C₁ to C₆ substituted alkyl, phenyl, substituted phenyl, C₁ to C₆ alkylthio, C₁ to C₆ substituted alkylthio, C₁ to C₆ alkylsulfonyl, C₁ to C₆ substituted alkylsulfonyl, C₁ to C₆ alkoxy, C₁ to C₆ substituted alkoxy, phenoxy, substituted phenoxy, amino, (monosubstituted)amino and (disubstituted)amino;

R₆ is selected from the group consisting of C₁ to C₆ alkyl, C₁ to C₆ substituted alkyl, C₇ to C₁₂ phenylalkyl and C₇ to C₁₂ substituted phenylalkyl;

- 10 R₇ is absent and R₈ together with the attached nitrogen depicted in the above formula form a substituted heterocycle or a substituted cyclic C₃ to C₇ heteroalkylene, wherein at least one of said substitution is the formula -D-E, wherein D is C₁ to C₆ alkylene and E
- 15 is selected from the group consisting of amino, (monosubstituted)amino and (disubstituted)amino group; or

- R₇ is a hydrogen atom and R₈ is the formula X-CH-Y, wherein the attached nitrogen depicted in the above formula is attached to the carbon atom of the formula X-CH-Y, and
- 20 wherein X is selected from the group consisting of a C₁ to C₆ alkyl, C₁ to C₆ substituted alkyl, C₇ to C₁₂ phenylalkyl and C₇ to C₁₂ substituted phenylalkyl and Y is the formula -(CH₂)_n-Z, wherein n is 1 to 2 and Z is selected from the group consisting of amino, (monosubstituted)amino and
- 25 (disubstituted)amino.

13. The compound of claim 1, wherein R₁ to R₅ are selected, independently, from the group consisting of a hydrogen atom, methyl, isopropyl, hydroxy, ethoxy, methoxy, butoxy, phenoxy, chloro, fluoro, bromo, nitro,

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trifluoromethyl, phenyl, methylthio, trifluoromethylthio, trifluoromethoxy, methylsulfonyl and dimethylamino.

14. The compound of claim 1, wherein R_2 and R_3 form a phenyl or substituted phenyl that is fused to the phenyl depicted in the above formula.

15. The compound of claim 1, wherein R_6 is selected from the group consisting of a benzyl, 4-(iodophenyl)methyl, 4-(chlorophenyl)methyl, 4-(bromophenyl)methyl, 2-(methoxyphenyl)methyl, 3-(methoxyphenyl)methyl, 4-(ethoxyphenyl)methyl, 4-(propoxyphenyl)methyl, 4-(ethylphenyl)methyl, 4-(isopropylphenyl)methyl, 4-(isobutylphenyl)methyl, 4-(trifluoromethylphenyl)methyl, 3,4-(dimethoxyphenyl)methyl, 4-(t-butylphenyl)methyl, 4-(2-(1-piperidyl)ethoxy)phenylmethyl, 4-((3,3-dimethyl)butoxyphenyl)methyl, 4-((3-methyl)butoxyphenyl)methyl, 4-((2-dimethylamino)ethoxyphenyl)methyl, 2-phenethyl, 2-(4-methoxyphenyl)ethyl, 3-indolylmethyl, 4-(biphenyl)methyl, 1-naphthylmethyl, 2-naphthylmethyl, diphenylmethyl, 3,4-dichlorophenylmethyl and 2-methoxyethyl.

16. The compound of claim 1, wherein R_7 is absent and R_8 together with the nitrogen depicted in the above formula are selected from the group consisting of 3-(aminomethyl)-7-hydroxyisoquinolyl, 3-(aminomethyl)isoquinolyl, 2-(aminomethyl)pyrrolidyl, trans-2-aminomethyl-4-hydroxypyrrolidyl, 4-aminomethylthiazolidin-3-yl and 2-(aminomethyl)piperidyl.

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17. The compound of claim 1, wherein R₁ is a hydrogen atom and R₂ is the formula X-CH₂-Y, wherein Y is aminomethyl and X is selected from the group consisting of
- 3-guanidinopropyl, 2-aminoethyl, 3-(methylamino)propyl,
 - 5 4-aminobutyl, hydroxymethyl, 4-nitrophenylmethyl, benzyl,
 - 3-(aminomethyl)phenylmethyl, 4-(aminomethyl)phenylmethyl,
 - 4-hydroxyphenylmethyl, 3-pyridylmethyl, 4-pyridylmethyl,
 - 2-thienylmethyl, butyl, 2-(ethylamino)ethyl,
 - 2-(dimethylamino)ethyl, 3-(dimethylamino)propyl,
 - 10 4-(dimethylamino)butyl, 1-hydroxyethyl, 2-hydroxyethyl,
 - 3-hydroxypropyl, 1-methylethyl, 1,1-dimethylethyl,
 - methoxymethyl, 2-pyridylmethyl, 2-methylsulfonyl ethyl,
 - thiomethyl, 2-(methylthio)ethyl, 1-methyl-1-thioethyl,
 - ethyl, 4-(2,2,2-trifluoroethylamino)butyl, aminomethyl,
 - 15 methylaminomethyl, dimethylaminomethyl, ethylaminomethyl,
 - butylaminomethyl, 2,2-dimethylpropylaminoethyl,
 - benzylaminoethyl, 2-phenethylaminomethyl,
 - 3-phenylpropylaminomethyl, cyclohexylmethylaminomethyl,
 - 2-cyclohexylethylaminomethyl, 4-hydroxybutylaminomethyl,
 - 20 5-hydroxypentylaminomethyl,
 - 2-methoxyaminoethylaminomethyl,
 - 3-methoxypropylaminomethyl, 2-phenoxyethylaminomethyl,
 - 2-(2-methoxy)ethoxyethylaminomethyl,
 - 2-thienylsulfonylamidomethyl,
 - 25 4-(methoxy)phenylsulfonylamidomethyl,
 - phenylsulfonylamidomethyl,
 - 4-(butoxy)phenylsulfonylamidomethyl,
 - methylsulfonylamidomethyl, 3-(4-morpholinyl)propyl,
 - 3-cyclopropylaminopropyl,
 - 30 3-(tetrahydrofurfurylamino)propyl,
 - 3-(4-hydroxypiperidinyl)propyl,
 - 3-(1,1-dimethyl-2-hydroxyethylamino)propyl,
 - 3-(N-(2-hydroxyethyl)methylamino)propyl,

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- 3-(N-(cyclohexyl)methylamino)propyl,
 2-(4-morpholinyl)ethyl, 2-cyclopropylaminoethyl,
 2-(tetrahydrofurfurylamino)ethyl,
 2-(4-hydroxypiperidinyl)ethyl,
 5 2-(1,1-dimethyl-2-hydroxyethylamino)ethyl,
 2-(N-(2-hydroxyethyl)methylamino)ethyl,
 2-(N-(cyclohexyl)methylamino)ethyl, 4-ethylaminobutyl,
 4-(2-methoxyethylamino)butyl, 3-ethylaminopropyl,
 3-(2-methoxyethylamino)propyl, 3-pyridylmethylaminomethyl,
 10 3-(methylamino)propyl, 3-aminopropyl, 3-
 (butylamino)propyl, 3-(2,2-dimethylpropylamino)propyl, 3-
 (phenylmethylamino)propyl, 3-(2-phenylethylamino)propyl,
 3-(3-phenylpropylamino)propyl, 3-(2-
 cyclohexylethylamino)propyl, 3-(3-
 15 pridylmethylamino)propyl, 3-(3-methoxypropylamino)propyl,
 3-(4-hydroxybutylamino)propyl, 3-(5-
 hydroxypentylamino)propyl, 3-(2-phenyloxyethylamino)propyl,
 3-(methylamino)propyl, 4-aminobutyl, 4-(butylamino)butyl,
 4-(2,2-dimethylpropylamino)butyl, 4-
 20 (phenylmethylaminom)butyl, 4-(2-phenylethylamino)butyl, 4-
 (3-phenylpropylamino)butyl, 4-
 (cyclohexylmethylamino)butyl, 4-(2-
 cyclohexylethylamino)butyl, 4-(3-pyridylmethylamino)butyl,
 4-(3-methoxypropylamino)butyl, 4-(4-
 25 hydroxybutylamino)butyl, 4-(5-hydroxypentylamino)butyl, 4-
 (2-phenyloxyethylamino)butyl and 4-((2-(2-
 methoxy)ethoxy)ethylamino)butyl.

18. The compound of claim 1, wherein R₁ to R₅
 are selected, independently, from the group consisting of
 30 a hydrogen atom, methyl, isopropyl, hydroxy, ethoxy,
 methoxy, butoxy, phenoxy, chloro, fluoro, bromo, nitro,
 trifluoromethyl, phenyl, methylthio, trifluoromethoxy,

methylsulfonyl and dimethylamino, and wherein R_2 and R_3 form a phenyl that is fused to the phenyl depicted in the above formula;

- R_6 is selected from the group consisting of
- 5 4-(iodophenyl)methyl, 4-(chlorophenyl)methyl,
4-(bromophenyl)methyl, 2-(methoxyphenyl)methyl,
3-(methoxyphenyl)methyl, 4-(ethoxyphenyl)methyl,
4-(propoxyphenyl)methyl, 4-(ethylphenyl)methyl,
4-(isopropylphenyl)methyl,
 - 10 4-(trifluoromethylphenyl)methyl,
3,4-(dimethoxyphenyl)methyl, 4-(t-butylphenyl)methyl,
4-(2-(1-piperidyl)ethoxy)phenylmethyl,
4-((3,3-dimethyl)butoxyphenyl)methyl,
4-((3-methyl)butoxyphenyl)methyl,
 - 15 4-((2-dimethylamino)ethoxyphenyl)methyl, 2-phenethyl,
2-(4-methoxyphenyl)ethyl, 3-indolylmethyl,
4-(biphenyl)methyl, 1-naphthylmethyl, 2-naphthylmethyl,
diphenylmethyl, 3,4-dichlorophenylmethyl and
2-methoxyethyl; and
 - 20 R_7 is absent and R_8 together with the nitrogen depicted in
the above formula are selected from the group consisting
of 3-(aminomethyl)-7-hydroxyisoquinolyl,
3-(aminomethyl)isoquinolyl, 2-(aminomethyl)pyrrolidyl,
trans-2-aminomethyl-4-hydroxypyrrolidyl,
 - 25 4-aminomethylthiazolidin-3-yl and
2-(aminomethyl)piperidyl; or

R_7 is a hydrogen atom and R_8 is the formula $X-CH-Y$, wherein
Y is aminomethyl and X is selected from the group
consisting of 3-guanidinopropyl, 2-aminoethyl,

- 30 3-(methylamino)propyl, 4-aminobutyl, hydroxymethyl,

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- 4-nitrophenylmethyl, benzyl, 3-(aminomethyl)phenylmethyl,
 4-(aminomethyl)phenylmethyl, 4-hydroxyphenylmethyl,
 3-pyridylmethyl, 4-pyridylmethyl, 2-thienylmethyl, butyl,
 2-(ethylamino)ethyl, 2-(dimethylamino)ethyl,
 5 3-(dimethylamino)propyl, 4-(dimethylamino)butyl,
 1-hydroxyethyl, 2-hydroxyethyl, 3-hydroxypropyl,
 1-methylethyl, 1,1-dimethylethyl, methoxymethyl,
 2-pyridylmethyl, 2-methylsulfonyl, thiomethyl,
 2-(methylthio)ethyl, 1-methyl-1-thioethyl, ethyl,
 10 4-(2,2,2-trifluoroethylamino)butyl, aminomethyl,
 methylaminomethyl, dimethylaminomethyl, ethylaminomethyl,
 butylaminomethyl, 2,2-dimethylpropylaminoethyl,
 benzylaminoethyl, 2-phenethylaminomethyl,
 3-phenylpropylaminomethyl, cyclohexylmethylaminomethyl,
 15 2-cyclohexylethylaminomethyl, 4-hydroxybutylaminomethyl,
 5-hydroxypentylaminomethyl,
 2-methoxyaminoethylaminomethyl,
 3-methoxypropylaminomethyl, 2-phenoxyethylaminomethyl,
 2-(2-methoxy)ethoxyethylaminomethyl,
 20 2-thienylsulfonylaminomethyl,
 4-(methoxy)phenylsulfonylaminomethyl,
 phenylsulfonylaminomethyl,
 4-(butoxy)phenylsulfonylaminomethyl,
 methylsulfonylaminomethyl, 3-(4-morpholinyl)propyl,
 25 3-cyclopropylaminopropyl,
 3-(tetrahydrofurfurylamino)propyl,
 3-(4-hydroxypiperidinyl)propyl,
 3-(1,1-dimethyl-2-hydroxyethylamino)propyl,
 3-(N-(2-hydroxyethyl)methylamino)propyl,
 30 3-(N-(cyclohexyl)methylamino)propyl,
 2-(4-morpholinyl)ethyl, 2-cyclopropylaminoethyl,
 2-(tetrahydrofurfurylamino)ethyl,
 2-(4-hydroxypiperidinyl)ethyl,

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R₃ is selected from the group consisting of chloro, fluoro

and bromo;

R₆ is selected from the group consisting of
 (4-ethoxyphenyl)methyl, (4-propoxyphenyl)methyl,
 (4-t-butylphenyl)methyl, (4-iodophenyl)methyl and
 5 (4-phenylphenyl)methyl;

R₇ is a hydrogen atom or absent;

when R₇ is a hydrogen atom, R₈ is the formula X-CH-Y,
 wherein Y is aminomethyl and X is selected from the group
 consisting of 2-hydroxyethyl, 2-(ethylamino)ethyl,
 10 2-(cyclopropylamino)propyl,
 2-(3-methoxypropylamino)propyl,
 2-(4-hydroxypiperidin-1-yl)propyl,
 2-(2-hydroxy-1,1-dimethylethylamino)propyl, 3-aminopropyl,
 2-(methylsulfonyl)ethyl, 2-aminoethyl,
 15 2-(4-hydroxypiperidin-1-yl)ethyl,
 2-(2-hydroxy-1,1-dimethylethylamino)ethyl,
 2-(tetrahydrofurfurylamino)propyl,
 3-(3-methoxypropylamino)propyl,
 2-((2-hydroxyethyl)methylamino)ethyl, 3-hydroxypropyl,
 20 3-(methylamino)propyl, 3-(ethylamino)propyl,
 3-(butylamino)propyl, 3-(2,2,-dimethylpropylamino)propyl,
 3-(cyclohexylmethylamino)propyl,
 3-(3-pyridylmethylamino)propyl,
 3-(2-methoxyethylamino)propyl,
 25 3-(3-methoxypropylamino)propyl,
 3-(4-hydroxybutylamino)propyl,
 3-(5-hydroxypentylamino)propyl, 3-dimethylaminopropyl,
 (3-aminomethyl)phenylmethyl,
 3-(2-phenoxyethylamino)propyl, 4-(ethylamino)butyl,
 30 4-(2-methoxyethylamino)butyl,

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- 4-(3-methoxypropylamino)butyl,
4-(4-hydroxybutylamino)butyl,
4-(5-hydroxypentylamino)butyl,
4-((2-(2-methoxy)ethoxy)ethylamino)butyl,
5 3-guanidinopropyl, 4-guanidinobutyl, hydroxymethyl and
2-dimethylaminoethyl;

and, when R_7 is absent, R_8 is
trans-2-aminomethyl-4-hydroxypyrrolidyl.

20. A method of altering the activity of a
10 melanocortin receptor in a subject, comprising
administering to the subject an effective amount of the
compound of claim 1.

21. The method of claim 20, wherein said
activity is increased.

- 15 22. The method of claim 21, wherein said
melanocortin receptor is MC-1.

23. The method of claim 21, wherein said
melanocortin receptor is MC-3.

- 20 24. The method of claim 21, wherein said
melanocortin receptor is MC-4.

25. The method of claim 21, wherein said
melanocortin receptor is MC-5.

26. The method of claim 20, wherein said
activity is decreased.

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27. The method of claim 26, wherein said melanocortin receptor is MC-1.

28. The method of claim 26, wherein said melanocortin receptor is MC-3.

5 29. The method of claim 26, wherein said melanocortin receptor is MC-4.

30. The method of claim 26, wherein said melanocortin receptor is MC-5.


31. A method of treating erectile dysfunction
10 in a subject, comprising administering to the subject an effective amount of the compound of claim 1.

32. A method of treating sexual dysfunction in a subject, comprising administering to the subject an effective amount of the compound of claim 1.

15 33. A method of treating obesity in a subject, comprising administering to the subject an effective amount of the compound of claim 1.

34. A method of treating an eating disorder in a subject, comprising administering to the subject an
20 effective amount of the compound of claim 1.

35. A method of treating diabetes in a subject, comprising administering to the subject an effective amount of the compound of claim 1.



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36. A method of treating syndrome X in a subject, comprising administering to the subject an effective amount of the compound of claim 1.

5 37. A method of treating inflammation in a subject, comprising administering to the subject an effective amount of the compound of claim 1.

38. A method of treating obesity in a subject, comprising administering to the subject an effective
10 amount of the compound of claim 18.

39. A method of treating diabetes in a subject, comprising administering to the subject an effective amount of the compound of claim 19.

40. A method of treating syndrome X in a
15 subject, comprising administering to the subject an effective amount of the compound of claim 19.

41. A method of treating obesity in a subject, comprising administering to the subject an effective amount of the compound of claim 19.

20

42. A composition comprising the compound of claim 1 and a second compound selected from the group consisting of an insulin sensitizer, insulin mimetic, sulfonylurea, α -glucosidase inhibitor, HMG-CoA reductase
25 inhibitor, sequestrant cholesterol lowering agent, β 3 adrenergic receptor agonist, neuropeptide Y antagonist, phosphodiester V inhibitor and α -2 adrenergic receptor antagonist.

add add

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